## **CLAIMS**

## 1. Compounds with general formula (I)

in which

$$R = X \begin{bmatrix} (CH_2)n & & & & \\ N & & & & \\ R^i & & & R^{ii} \end{bmatrix}_{z} \begin{bmatrix} Y' & & & \\ R^{iii} & & & \\ & & & & \\ Z' & & & & \end{bmatrix}_{z'}$$

m is the number 0 or 1;

Z and Z', which can be the same or different, are an integer ranging from 0 to 2;

Y and Y', which can be the same or different, are  $(CH_2)n_1$ ;  $(CH_2)n_2$ -CH[NR<sup>VII</sup>(CH<sub>2</sub>)n<sub>4</sub>-NHR<sup>I</sup>]-(CH<sub>2</sub>)n<sub>3</sub>;  $CH_2$ -CH[CH<sub>2</sub>-CH<sub>2</sub>]<sub>2</sub>- or  $(CH_2)n_2$ -N[(CH<sub>2</sub>)n<sub>4</sub>-NHR<sup>IV</sup>]-(CH<sub>2</sub>)n<sub>3</sub>;

Y" is selected from the group consisting of H; cycloalkyl C<sub>3</sub>-C<sub>7</sub>; (CH<sub>2</sub>)n<sub>5</sub>-N[CH<sub>2</sub>-CH<sub>2</sub>]<sub>2</sub>N-(CH<sub>2</sub>)n<sub>6</sub>NHR<sup>V</sup>; (CH<sub>2</sub>)n<sub>7</sub>-CH[CH<sub>2</sub>-CH<sub>2</sub>]<sub>2</sub>NR<sup>V</sup>;

X is O, or is a simple bond;

n-n<sub>8</sub>, which can be the same or different, are an integer ranging from 0 to 5;

RI, RII, RIII, RIV, and RV, which can be the same or different, are a protective group for the nitrogen to which they are bound; CO<sub>2</sub>RVI; CO<sub>2</sub>CH<sub>2</sub>Ar; CO<sub>2</sub>(9-fluorenylmethyl); (CH<sub>2</sub>)n<sub>5</sub>-NHCO<sub>2</sub>RVI; CH<sub>2</sub>Ar; COAr; (CH<sub>2</sub>)n<sub>5</sub>-NHCO<sub>2</sub>CH<sub>2</sub>Ar; (CH<sub>2</sub>)n<sub>5</sub>-NHCO<sub>2</sub>-(9-fluorenylmethyl).

 $R^{VI}$  is a straight or branched (C<sub>1</sub>-C<sub>6</sub>) alkyl;  $R^{VII}$  is H or  $R^{I}$ -R<sup>V</sup>:

Ar is a C<sub>6</sub>-C<sub>12</sub> aromatic residue, such as phenyl, optionally substituted with one or more groups selected from: halogen, hydroxy, C<sub>1</sub>-C<sub>5</sub> alkyl, C<sub>1</sub>-C<sub>5</sub> alkoxy, phenyl, cyano, nitro, -NR<sup>VIII</sup>RIX, where R<sup>VIII</sup> and R<sup>IX</sup>, which can be the same or different, are hydrogen, straight or branched (C<sub>1</sub>-C<sub>5</sub>) alkyl, or Ar is a heterocyclic group, said heterocyclic group containing at least one heteroatom selected from a nitrogen atom, optionally substituted with a (C<sub>1</sub>-C<sub>5</sub>) alkyl group, and/or oxygen and/or sulphur; said heterocycle can be substituted with one or more groups selected from halogen, hydroxy, C<sub>1</sub>-C<sub>5</sub> alkyl, C<sub>1</sub>-C<sub>5</sub> alkoxy, phenyl, cyano, nitro, -NR<sup>VIII</sup>RIX, where R<sup>VIII</sup> and R<sup>IX</sup>, which can be the same or different, are hydrogen, straight or branched (C<sub>1</sub>-C<sub>5</sub>) alkyl, the N<sub>1</sub>-oxides, racemic mixtures, their individual enantiomers, their individual diastereoisomers, the *E* and *Z* forms, their mixtures, and pharmaceutically acceptable salts.

- 2. Compounds according to claim 1, in which the protective groups are bulky groups of a lipophilic nature.
- 3. Compounds according to claim 1, in which the protective groups are selected from the group consisting of: CO<sub>2</sub>R<sup>VI</sup>; CO<sub>2</sub>CH<sub>2</sub>Ar; CO<sub>2</sub>-(9-fluorenylmethyl); (CH<sub>2</sub>)n<sub>5</sub>-NHCO<sub>2</sub>R<sup>VI</sup>; (CH<sub>2</sub>)n<sub>5</sub>-NHCO<sub>2</sub>CH<sub>2</sub>Ar; (CH<sub>2</sub>)n<sub>5</sub>-NHCO<sub>2</sub>-(9-fluorenylmethyl), in which R<sup>VI</sup> is as defined above.
- 4. Compounds according to claim 3, in which the protective groups are selected from the group consisting of tert-butoxycarbonyl; benzyloxycarbonyl; 9-fluorenylmethyloxycarbonyl.
- 5. Compounds according to any of claims 1-4, in which m is 0.
- 6. Compounds according to claim 5, selected from the group consisting of:

- tert-butylester of 20S-(4-{[3-(7-camptothecinylidene-amino)-propyl]-tert-butoxycarbonyl-amino}-butyl)-(3-tert-butoxycarbonylaminopropyl)-carbamic acid;
- tert-butylester of 20S-(4-{[3-(7-camptothecinylidene-amino)-propyl]-tert-butoxycarbonyl-amino}-butyl)-carbamic acid;
- tert-butylester of 20S-[3-(7-camptothecinylidene-amino)-butyl]-carbamic acid;
- 20S-7-[3-(N-tert-butoxycarbonylamino)propoxyimino-methyl]-camptothecin.
- 7. Compounds according to any of claims 1-4, in which m is 1.
- 8. Compounds according to claim 7, selected from the group consisting of:
- tert-butylester of 20RS-(4-{[3-(7-homocamptothecinylidene-amino)-propyl]-tert-butoxycarbonyl-amino}-butyl)-(3-tert-butoxyicarbonylaminopropyl)-carbamic acid;
- tert-butylester of 20RS-(4-{[3-(7-homocampto-thecinylidene-amino)-propyl]-tert-butoxycarbonyl-amino}-butyl)-carbamic acid;
- tert-butylester of 20RS-[3-(7-homocamptothecinylidene-amino)-butyl]-carbamic acid;
- 20R,S-7-[3-(N-tert-butoxycarbonylamino)propoxyimino-methyl]-homocamptothecin
- 9. Pharmaceutical composition containing at least one compound according to claims 1-8 as the active ingredient in admixture with at least one pharmaceutically acceptable vehicle and/or excipient.
- 10. Use of compounds according to claims 1-8 as medicaments.
- 11. Use of compounds according to claims 1-8 for the preparation of a medicament with topoisomerase 1 inhibiting activity.
- 12. Use according to claim 11 for the preparation of a medicament with anticancer activity.

WO 2005/005431 PCT/IT2004/000374

21

- 13. Use according to claim 11 for the preparation of a medicament with antiparasite activity.
- 14. Use according to claim 11 for the preparation of a medicament with antiviral activity.